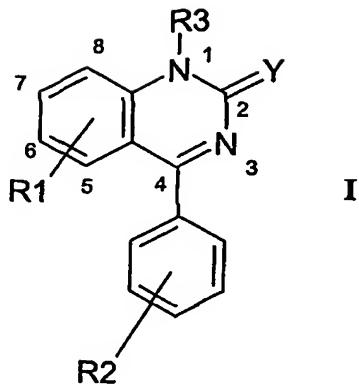


CLAIMS

1. A compound of formula I



wherein Y is O or S;

R1 represents from 1 to 3 substituents independently selected from OH, SH, halo, NO₂, optionally substituted (lower alkyl, lower alkoxy, lower alkenyl, lower alkenyloxy, lower alkynyl, lower alkynyloxy, lower alkanoyl, cycloalkyl, lower alkylsulphone, lower alkylsulphoxide or amino);

R2 represents from 1 to 3 substituents selected from halo, optionally substituted (lower alkyl, lower alkenyl, cycloalkyl or lower alkoxy);

R3 is

- a) lower alkyl optionally substituted by 1 to 3 substituents selected from cycloalkyl, lower alkylene, lower alkyl, Br, F, CF₃, CN, COOH, lower alkyl-carboxylate, OH, lower alkoxy or -O_x-(CH₂)_y-SO_z-lower alkyl, wherein x is 0 or 1; y is 0, 1 or 2 and z is 0, 1 or 2; or
- b) Benzyl which is
 - a. mono-or di- (preferably mono-) substituted by -O_x-(CH₂)_y-SO_z-lower alkyl or -O_x-(CR, R')_y-COO-R, wherein x, y and z are as defined above and R or R' is H or lower alkyl,
 - b. substituted by 1 or 2 substituents selected from morpholino-lower alkoxy, aryl-lower alkoxy, optionally N-lower alkyl substituted arylamino-lower alkoxy,
 - c. substituted at the 2-position by lower alkoxy-, hydroxy-lower alkoxy- or lower alkoxy-lower alkoxy,

- d. substituted on the $-\text{CH}_2-$ group thereof; or
- c) optionally substituted (aryl-C₂-C₈-alkyl, aryl- C₂-C₈-alkenyl, heteroarylmethyl or 4-heteroarylbenzyl); or

when R1 is 2 substituents one of which is OH, preferably at the 6-position, and the other of which is optionally substituted (lower alkyl, cycloalkyl-lower-alkyl or lower alkenyl), preferably at the 5-position, R3 is H or optionally substituted (lower alkyl, aryl, aryl-lower alkyl, arylcycloalkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl, heteroaryl-lower alkyl, heteroaryl, or carbonyl lower alkyl); or

when R1 is 2-propynyoxy and R2 is isopropyl, R3 is also benzyl which is substituted by 1 to 3 substituents selected from lower alkyl, lower alkoxy, halo, halo-lower alkyl, e.g. CF₃; or

when R1 is 2-propynyoxy and R2 is isopropyl, R3 is also benzyl which is substituted by OH and a second and optionally third substituent selected from lower alkyl, lower alkoxy, halo, -O-CH(H or lower alkyl)-COO(H or lower alkyl); or

when R1 is 2-propynyoxy and R2 is cyclopropyl, R3 is also optionally substituted lower alkyl or benzyl (preferably R3 is also benzyl which is substituted by 1 to 3 substituents selected from lower alkyl, lower alkoxy, halo, -O-CH(H or lower alkyl)-COO(H or lower alkyl)); or

when Y is S and R1 is as defined above but not methoxy, R3 is also optionally substituted benzyl; or

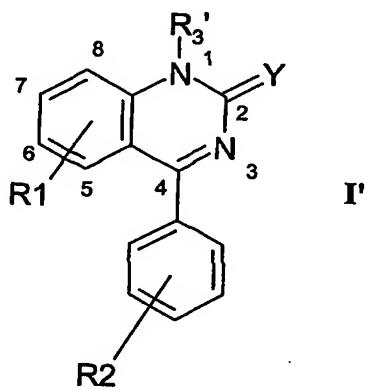
a compound selected from 4-(4-isopropyl-phenyl)-1-(3,4-diamino-benzyl)-6-prop-2-nyloxy-1H-quinazolin-2-one, 1-(2,6-dichloro-benzyl)-4-(4-isopropyl-phenyl)-6-prop-2-nyloxy-1H-quinazolin-2-one, 1-benzyl-4-(4-isopropyl-phenyl)-6-prop-2-nyloxy-1H-quinazoline-2-thione; 1-(3,5-di-tert-butyl-4-hydroxy-benzyl)-4-(4-isopropyl-phenyl)-6-prop-2-nyloxy-1H-quinazolin-2-one, or 1-[3-(2-hydroxy-ethoxy)-benzyl]-4-(4-isopropyl-phenyl)-6-prop-2-nyloxy-1H-quinazoline-2-thione;

or a pharmaceutically-acceptable and -cleavable ester, or acid addition salt thereof; and

provided that when Y is O and R3 is lower alkyl or cycloalkyl, R3 is not isopropyl or cyclopentyl; or

provided the compound of formula I is not 4-(4-isopropyl-phenyl)-6-methoxy-1-pyridin-3-ylmethyl-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-pyridin-2-ylmethyl-1.H.-quinazolin-2-one, 1-(6-chloro-pyridin-3-ylmethyl)-4-(4-isopropyl-phenyl)-6-methoxy-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-(5-nitro-furan-2-ylmethyl)-1.H.-quinazolin-2-one or 1-[2-(1.H.-indol-2-yl)-ethyl]-4-(4-isopropyl-phenyl)-6-methoxy-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-phenethyl-1H-quinazolin-2-one, 1-(2-hydroxy-2-phenyl-ethyl)-4-(4-isopropyl-phenyl)-6-prop-2-yloxy-1H-quinazolin-2-one, methanesulfonic acid 2-[4-(4-isopropyl-phenyl)-2-oxo-6-prop-2-yloxy-2H-quinazolin-1-ylmethyl]-phenyl ester, or acetic acid 2-[4-(4-isopropyl-phenyl)-2-oxo-6-prop-2-yloxy-2H-quinazolin-1-yl]-1-phenyl-ethyl ester, 5-allyl-6-hydroxy-1-isopropyl-4-(4-isopropyl-phenyl)-1.H.-quinazolin-2-one, 1-cyclopropylmethyl-4-(o-tolyl)-6-nitro-2(1H)-quinazolinone, 1-ethyl-4-(o-tolyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(o-fluorophenyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(m-chlorophenyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(o-chlorophenyl)-6-nitro-2(1H)-quinazolinone.

2. A compound according to claim 1 of formula I'



wherein Y is O or S;

R1 and R2 are as defined in claim 1;

R3' is

- a) lower alkyl substituted by 1 to 3 substituents independently selected from -S-lower alkyl, lower alkylene, cycloalkyl, Br, F or CF₃; or

b) benzyl which is

- a. mono-or di- (preferably mono-) substituted by $-O_x-(CH_2)_y-SO_z$ -lower alkyl, wherein x is 0 or 1, y is 0, 1 or 2 and z is 0, 1 or 2,
- b. substituted by 1 or 2 substituents selected from morpholino-lower alkoxy, aryl-lower alkoxy, optionally N-lower alkyl substituted arylamino-alkoxy,
- c. substituted at the 2-position by lower alkoxy-, hydroxy-lower alkoxy- or lower alkoxy-lower alkoxy; or

c) optionally substituted (arylvinyl, arylethyl, heteroaryl-methyl or 4-heteroarylbenzyl); or

when R1 is 2 substituents one of which is OH, preferably at the 6-position, and the other of which is optionally substituted (lower alkyl or lower alkenyl), preferably at the 5-position, R3 is H or optionally substituted (lower alkyl, aryl, aryl-lower alkyl, arylcycloalkyl, cycloalkyl-lower alkyl, cycloalkenyl-lower alkyl, heteroaryl-lower alkyl, heteroaryl, or carbonyl lower alkyl); or

when R1 is 2-propynyl and R2 is isopropyl, R3 is also benzyl which is substituted by 1 to 3 substituents selected from lower alkyl, lower alkoxy, halo, halo-lower alkyl, e.g. CF₃, -O-CH(H or lower alkyl)-COO(H or lower alkyl); or

when R1 is 2-propynyl and R2 is isopropyl, R3 is also benzyl which is substituted by OH and a second and optionally third substituent selected from lower alkyl, lower alkoxy, halo, -O-CH(H or lower alkyl)-COO(H or lower alkyl); or

when R1 is 2-propynyl and R2 is cyclopropyl, R3 is also optionally substituted benzyl (preferably R3 is also benzyl which is substituted by 1 to 3 substituents selected from lower alkyl, lower alkoxy, halo, -O-CH(H or lower alkyl)-COO(H or lower alkyl)); or

when X is S and R1 is as defined above but not methoxy, R3 is also optionally substituted benzyl; or

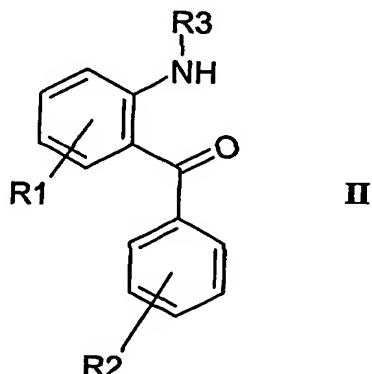
a compound selected from 4-(4-isopropyl-phenyl)-1-(3,4-diamino-benzyl)-6-prop-2-ynyloxy-1H-quinazolin-2-one, 1-(2,6-dichloro-benzyl)-4-(4-isopropyl-phenyl)-6-prop-2-ynyloxy-1H-quinazolin-2-one, 1-benzyl-4-(4-isopropyl-phenyl)-6-prop-2-ynyloxy-1H-quinazoline-2-thione; 1-(3-di-tert-butyl-4-hydroxy-benzyl)-4-(4-isopropyl-phenyl)-6-prop-2-ynyloxy-1H-quinazolin-2-one, or 1-[3-(2-hydroxy-ethoxy)-benzyl]-4-(4-isopropyl-phenyl)-6-prop-2-ynyloxy-1H-quinazoline-2-thione;

or a pharmaceutically-acceptable and -cleavable ester, or acid addition salt thereof; , and

provided that when X is O and R3 is lower alkyl or cycloalkyl, R3 is not isopropyl or cyclopentyl; or

provided the compound of formula I' is not 4-(4-isopropyl-phenyl)-6-methoxy-1-pyridin-3-ylmethyl-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-pyridin-2-ylmethyl-1.H.-quinazolin-2-one, 1-(6-chloro-pyridin-3-ylmethyl)-4-(4-isopropyl-phenyl)-6-methoxy-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-(5-nitro-furan-2-ylmethyl)-1.H.-quinazolin-2-one or 1-[2-(1.H.-indol-2-yl)-ethyl]-4-(4-isopropyl-phenyl)-6-methoxy-1.H.-quinazolin-2-one, 4-(4-isopropyl-phenyl)-6-methoxy-1-phenethyl-1H-quinazolin-2-one, 1-(2hydroxy-2-phenyl-ethyl)-4-(4-isopropyl-phenyl)-6-prop-2-yloxy-1H-quinazolin-2-one, methanesulfonic acid 2-[4-(4-isopropyl-phenyl)-2-oxo-6-prop-2-yloxy-2H-quinazolin-1-ylmethyl]-phenyl ester, or acetic acid 2-[4-(4-isopropyl-phenyl)-2-oxo-6-prop-2-yloxy-2H-quinazolin-1-yl]-1-phenyl-ethyl ester, 5-allyl-6-hydroxy-1-isopropyl-4-(4-isopropyl-phenyl)-1.H.-quinazolin-2-one, 1-cyclopropylmethyl-4-(o-tolyl)-6-nitro-2(1H)-quinazolinone, 1-Ethyl-4-(o-tolyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(o-tolyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(o-fluorophenyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(m-chlorophenyl)-6-chloro-2(1H)-quinazolinone, 1-cyclopropylmethyl-4-(o-chlorophenyl)-6-nitro-2(1H)-quinazolinone.

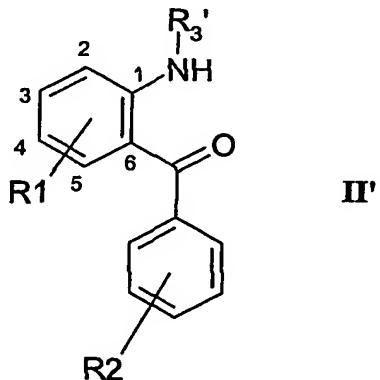
3. A compound of formula II



wherein R1, R2 and R3 are as defined in claim 1; or
 a compound selected from {2-[2-(2-hydroxy-ethoxy)-benzylamino]-5-prop-2-ynyloxy-phenyl}-(4-isopropyl-phenyl)-methanone or {2-[(2,3-dimethoxy-quinoxalin-6-ylmethyl)-amino]-5-prop-2-ynyloxy-phenyl}-(4-isopropyl-phenyl)-methanone;
 or a pharmaceutically-acceptable and -cleavable ester, or acid addition salt thereof;
 and

provided that the compound of formula II is not {2-[2-(3,5-dimethoxy-phenyl)-2-methyl-propylamino]-4,5-dimethoxy-phenyl}-(4-isopropyl-phenyl)-methanone, (4-isopropyl-phenyl)-{5-methoxy-2-[(pyridin-3-ylmethyl)-amino]-phenyl}-methanone, (4-isopropyl-phenyl)-{5-methoxy-2-[(pyridin-2-ylmethyl)-amino]-phenyl}-methanone.

4. A compound according to claim 3 of formula II'



wherein R1 and R2 are as defined in claim 1 and R3' is as defined in claim 2; or a compound selected from {2-[2-(2-hydroxy-ethoxy)-benzylamino]-5-prop-2-ynyloxy-phenyl}-(4-isopropyl-phenyl)-methanone or {2-[(2,3-dimethoxy-quinoxalin-6-ylmethyl)-amino]-5-prop-2-ynyloxy-phenyl}-(4-isopropyl-phenyl)-methanone;

or a pharmaceutically-acceptable and -cleavable ester, or acid addition salt thereof;
 and

provided that the compound of formula II' is not {2-[2-(3,5-dimethoxy-phenyl)-2-methyl-propylamino]-4,5-dimethoxy-phenyl}-(4-isopropyl-phenyl)-methanone, (4-isopropyl-phenyl)-{5-methoxy-2-[(pyridin-3-ylmethyl)-amino]-phenyl}-methanone, (4-isopropyl-phenyl)-{5-methoxy-2-[(pyridin-2-ylmethyl)-amino]-phenyl}-methanone.

5. A compound according to claims 1, 2, 3 or 4 for use as a pharmaceutical.

6. The use of a compound according to claims 1, 2, 3 or 4 for the manufacture of a medicament

for preventing or treating bone conditions which are associated with increased calcium depletion or resorption or in which stimulation of bone formation and calcium fixation in the bone is desirable; or

for the prevention and treatment of seizures, stroke, head trauma, spinal cord injury, hypoxia-induced nerve cell damage, epilepsy, neurodegenerative diseases, Alzheimer's disease, Huntington's disease and Parkinson's disease, dementia, muscle tension, depression, anxiety, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, schizophrenia, neuroleptic malignant syndrome, congestive heart failure; hypertension; gut motility disorders, diarrhoea, spastic colon disorder, dermatological disorders, burns, ulcerations, wounds; osteoporosis, juvenile osteoporosis, menopausal osteoporosis, post-menopausal osteoporosis, post-traumatic osteoporosis, fractures, osteopathy, osteo-malacia, periodontal bone loss or bone loss due to arthritis or osteoarthritis or for treating hypoparathyroidism.

7. A method

for preventing or treating bone conditions which are associated with increased calcium depletion or resorption or in which stimulation of bone formation and calcium fixation in the bone is desirable; or

for the prevention and treatment of seizures, stroke, head trauma, spinal cord injury, hypoxia-induced nerve cell damage, epilepsy, neurodegenerative diseases, Alzheimer's disease, Huntington's disease and Parkinson's disease, dementia, muscle

tension, depression, anxiety, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, schizophrenia, neuroleptic malignant syndrome, congestive heart failure; hypertension; gut motility disorders, diarrhoea, spastic colon disorder, dermatological disorders, burns, ulcerations, wounds; osteoporosis, juvenile osteoporosis, menopausal osteoporosis, post-menopausal osteoporosis, post-traumatic osteoporosis, fractures, osteopathy, osteo-malacia, periodontal bone loss or bone loss due to arthritis or osteoarthritis or for treating hypoparathyroidism; in which an effective amount of a compound according to claims 1, 2, 3 or 4 is administered to a patient in need of such treatment.

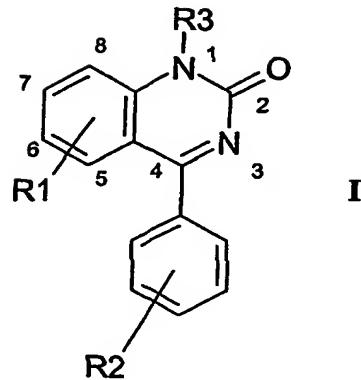
8. A pharmaceutical composition

for preventing or treating bone conditions which are associated with increased calcium depletion or resorption or in which stimulation of bone formation and calcium fixation in the bone is desirable; or

for the prevention and treatment of seizures, stroke, head trauma, spinal cord injury, hypoxia-induced nerve cell damage, epilepsy, neurodegenerative diseases, Alzheimer's disease, Huntington's disease and Parkinson's disease, dementia, muscle tension, depression, anxiety, panic disorder, obsessive-compulsive disorder, post-traumatic stress disorder, schizophrenia, neuroleptic malignant syndrome, congestive heart failure; hypertension; gut motility disorders, diarrhoea, spastic colon disorder, dermatological disorders, burns, ulcerations, wounds; osteoporosis, juvenile osteoporosis, menopausal osteoporosis, post-menopausal osteoporosis, post-traumatic osteoporosis, fractures, osteopathy, osteo-malacia, periodontal bone loss or bone loss due to arthritis or osteoarthritis or for treating hypoparathyroidism; comprising a compound according to claims 1, 2, 3 or 4 in admixture with a pharmaceutically acceptable excipient, diluent or carrier.

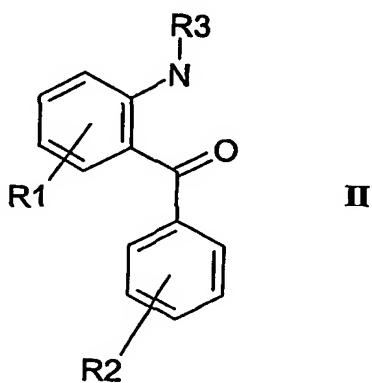
9. A process for the preparation of a compound according to claim 1

- 188 -



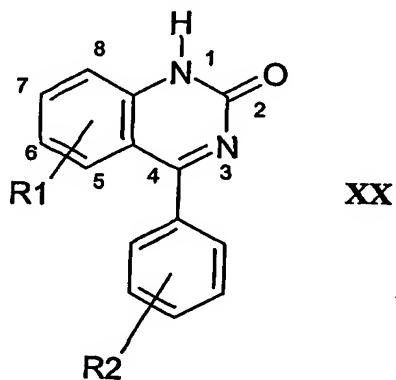
wherein the symbols are as defined in claim 1 comprising

a) cyclising a compound of formula II



with a condensation reagent such as chlorosulfonyl isocyanate (ClSO_2NCO) or sodium cyanate or sodium thiocyanate; or

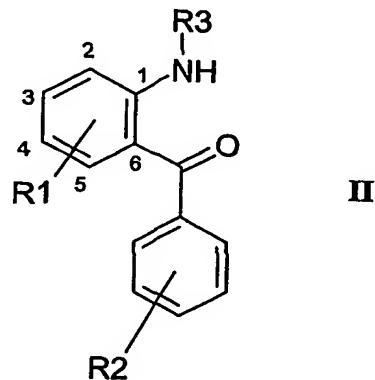
b) for an Agent of the Invention of formula I, in which R3 is optionally substituted aryl-lower alkyl, alkylation of a compound of formula XX



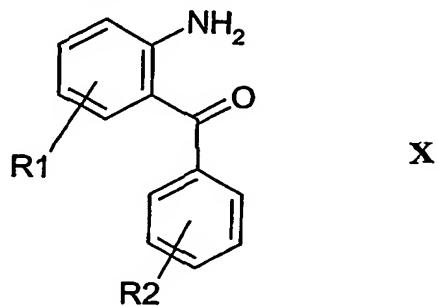
at the 1-position with the corresponding optionally substituted ylhalide;

and thereafter, if required converting the R1, R2 or R3 residues into alternative R1, R2 or R3 residues to give an alternative compound of formula I.

10. A process for the preparation of a compound of formula II



wherein R1, R2 and R3 are as defined in claim 1 comprising alkylation of the corresponding aminobenzophenone compound of formula X



wherein R1 and R2 are as defined in claim 1, and thereafter, if required, converting R1, R2 or R3 residues into alternative R1, R2 or R3 residues to give an alternative compound of formula II.